



Europäisches
Patentamt

European
Patent Office

Office européen
des brevets

REC'D 01 DEC 2003
WIPO PCT

Bescheinigung

Certificate

Attestation

Die angehefteten Unterlagen stimmen mit der ursprünglich eingereichten Fassung der auf dem nächsten Blatt bezeichneten europäischen Patentanmeldung überein.

The attached documents are exact copies of the European patent application described on the following page, as originally filed.

Les documents fixés à cette attestation sont conformes à la version initialement déposée de la demande de brevet européen spécifiée à la page suivante.

Patentanmeldung Nr. Patent application No. Demande de brevet n°

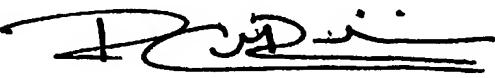
02292407.0

PRIORITY DOCUMENT
SUBMITTED OR TRANSMITTED IN
COMPLIANCE WITH
RULE 17.1(a) OR (b)

Der Präsident des Europäischen Patentamts;
Im Auftrag

For the President of the European Patent Office

Le Président de l'Office européen des brevets
p.o.


R C van Dijk



Anmeldung Nr:
Application no.: 02292407.0
Demande no:

Anmeldetag:
Date of filing: 30.09.02
Date de dépôt:

Anmelder/Applicant(s)/Demandeur(s):

Johnson & Johnson Consumer France SAS
1, rue Camille Desmoulins
92787 Issy les Moulineaux Cedex 9
FRANCE

Bezeichnung der Erfindung/Title of the invention/Titre de l'invention:
(Falls die Bezeichnung der Erfindung nicht angegeben ist, siehe Beschreibung.
If no title is shown please refer to the description.
Si aucun titre n'est indiqué se referer à la description.)

Thickener Compositions

In Anspruch genommene Priorität(en) / Priority(ies) claimed /Priorité(s)
revendiquée(s)
Staat/Tag/Aktenzeichen/State/Date/File no./Pays/Date/Numéro de dépôt:

Internationale Patentklassifikation/International Patent Classification/
Classification internationale des brevets:

A61K47/00

Am Anmeldetag benannte Vertragstaaten/Contracting states designated at date of
filing/Etats contractants désignées lors du dépôt:

AT BE BG CH CY CZ DE DK EE ES FI FR GB GR IE IT LI LU MC NL PT SE SK TR

Thickener Compositions

5

Brief description of the invention

10

This invention relates to chemical compositions comprising two thickening components which act synergistically and provide good thickening properties in the presence of electrolytes, in particular in the presence of DMEA and its salts and vitamins and their salts. Further there are provided cosmetic formulations comprising 15 these compositions.

Background of the Invention

20 Upon aging, skin becomes less elastic and develops fine lines and wrinkles, which are the direct result of deterioration of the supporting dermis layer. Further phenomena associated with skin aging are the appearance of pigment spots; skin thinning and skin sagging are also change seen in the ulterior skin aging.

25 Many factors contribute to skin aging. These include sun exposure, free radicals, some age-related hormonal changes, and smoking. Two factors contribute to skin aging: on the one hand there is intrinsic aging whereas on the other there is extrinsic aging due environmental factors. Amongst these is photo-aging, which comprises any damage caused to the skin due to the direct or indirect effects of the ultraviolet spectrum of 30 sunlight.

Treatments have been developed that have proved out to be more or less effective in combating the effects of skin aging. Cosmetic products have been developed which contain vitamins or derivatives thereof, in particular vitamin A or its derivatives, such

as alpha-hydroxy acids or retinoids, vitamin C, or plant extracts. These products, when applied on a regular basis during sustained periods of time, have been shown to reduce the effects of skin aging.

5 The ethanolamine derivatives are a particular class of agents that have been described to be useful to treat the effects of skin aging. US 5,554,647 describes a method of treating aging skin and subcutaneous muscles comprising the use of an acetylcholine precursor such as dimethylaminoethanol (DMAE), also referred to as 'deanol', in an amount effective to produce increased muscle tone.

10 US 5,643,586 describes the topical treatment of subcutaneous muscle and overlying cutaneous tissue by applying a composition comprising a catecholamine precursor which in particular is tyrosine, phenylalanine or a mixture thereof preferably in combination with an acetylcholine precursor such as dimethylaminoethanol.

15 DMAE is currently used as an anti-aging agent in a variety of cosmetic products usually under the form of appropriate salt forms. There is however need for thickened formulations containing DMAE salts that can be applied as creams or gels.

20 Compositions containing ethanolamines and in particular those containing dimethylaminoethanol are most effective when used in formulations that have a pH that is in the range of about pH 5.5 to about pH 7. To this purpose, the ethanolamine is in a salt form that has a buffering effect such as the salts of citric, malic and glycolic acid, including mixtures thereof. Most commonly DMAE is formulated as a double salt, in particular a double salt of glycolic and citric acid. DMAE under this form occurs as an electrolyte.

25 It is known that the presence of electrolytes to thickened cosmetic compositions destabilizes the activity of the thickening agents and resulting in an often strong 30 decrease of the viscosity.

Therefore there is a need for good thickening systems that provide thickening activity in the presence of electrolytes.

European patent n° 0 684 039 and corresponding US 5,425,939 describe a combination of sclerotium gum and hydrophobically modified acrylate or methacrylate having highly effective thickening properties.

5

US 5,833,968 discloses hair-fixing compositions in the form of a viscous gel containing homopolymers of acrylic acid, acrylic acid acrylamides copolymers and sclerotium gum.

10

US 5,807,561 discloses a composition for enhancing whitening effect on skin comprising a thickening polymer selected from sclerotium gum and xanthan gum and mixtures thereof.

WO 01/70271 describes acid-stable compositions comprising sclerotium gum and

15

carageenan.

It is therefore an object of this invention to provide a thickening composition.

20

It is a further object to provide thickened compositions containing an electrolyte in, which the viscosity remains stable. It is a further object to provide thickened compositions containing ethanolamine salts, in particular containing salts of DMAE, in particular such compositions having a pH, which is in the range of pH 4.5 to pH 8, in particular in the range of pH 5.5 to pH 7. It is another object to provide compositions containing ethanolamine or vitamin C salts and a thickener composition which have a sufficiently long shelf life.

25

These objects are attained by the thickener compositions according to the present invention, which will be described hereinafter in more detail.

30

Summary of the Invention

The present invention is directed to a chemical composition comprising:

- (a) sclerotium gum;
- (b) a copolymer selected from the group consisting of methyl vinyl ether/ maleic anhydride copolymer and acryloyldimethyltaurate vinylpyrrolidone copolymer, in particular the ammonium salt of the latter; and
- 5 (c) a suitable carrier.

Said chemical composition can be used to thicken compositions that contain an electrolyte.

10 In a further aspect there is provided a chemical composition comprising:

- (a) sclerotium gum;
- (b) a copolymer selected from the group consisting of methyl vinyl ether/ maleic anhydride copolymer and acryloyldimethyltaurate vinylpyrrolidone copolymer, in particular the ammonium salt of the latter;
- 15 (c) one or more electrolytes; and
- (d) a suitable carrier.

In a particular aspect this invention concerns a chemical composition comprising:

- (a) from 0.005 to 3%, and in particular from 0.005 to 1 % of sclerotium gum
- 20 (b) a from 0.005 to 3% %, and in particular from 0.005 to 1 % of a copolymer selected from the group consisting of PVM / MA crosspolymer and ammonium acryloyl dimethyl taurate vinylpyrrolidone copolymer
- (c) a suitable carrier.

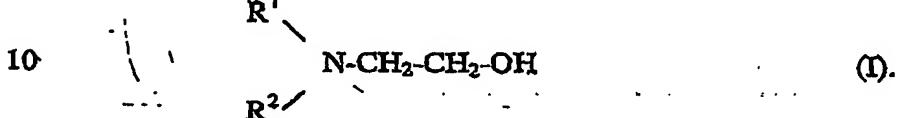
25 In a further aspect there is provided a chemical composition comprising components (a), (b), and (c) as defined in the preceding paragraph and further:

- (d) from 0.001 % to 5 %, in particular from 0.001 % to 3 %, further in particular from 0.005 % to 1.5 % of one or more electrolytes.

30 The invention further relates to a thickened composition, as defined above, having a pH in the range of about 4.5 to about 8, in particular of about 5.5 to about 7.

The invention further is concerned with a thickened topical formulation comprising a composition as defined herein and further ingredients. The topical formulation can be for dermatological use, but in particular is for cosmetic use.

5 In a further aspect there is provided a dermatological or cosmetical formulation comprising a chemical composition as defined herein, and an effective amount of at least one ethanolamine derivative of formula I, or a topically acceptable salt thereof:



15 In another aspect the invention provides the use of a composition as defined herein for manufacturing a topical or in particular a cosmetic formulation.

Detailed Description of the Invention

20 Unless indicated otherwise, all % are w/w relative to the total weight of the composition of formulation.

25 The compositions of the invention contain sclerotium gum. This material is a polymerized glucose, which can be obtained from a variety of fungi containing either glucose or fructose as described e.g. in US-5,425,939. Sclerotium gum is used as a thickener and gelling agent. A particularly suitable sclerotium gum is the material available from Alban Mueller International under the trade name Amigel™ or Amigel Granule™.

30 One of the copolymers for use in the compositions of this invention is acryloyldimethyltaurate vinylpyrrolidone copolymer. This is a copolymer obtained by copolymerisation of acylamidopropane sulfonic acid and vinylpyrrolidone in the

presence of a suitable base and a cross-linking agent. The suitable base preferably is ammonia. Preferred are the materials known under Chemical Abstract registration Nos. 58374-69-9, 13162-05-5 and 88-12-0, available under the tradename Aristoflex AVCTM from the company Clariant.

5

Another of the copolymers for use in the compositions of this invention is methyl vinyl ether/ maleic anhydride copolymer, also referred to as PVM/MA copolymer. Particular such copolymers are those, which are cross-linked with 1,9-decadiene, also referred to as PVM/MA Decadiene Crosspolymer. Preferred are the materials available under the 10 Tradename StabilezeTM such as Stabilize 06TM and Stabilize QMTM from the company ISP.

Both types of copolymers are known gelling agents and are used as thickeners.

15 This invention relates to chemical compositions as defined herein, which can be used as thickening systems in formulations, in particular in formulations containing one or more electrolytes. These formulations are for dermatological or cosmetic use.

20 Examples of the latter are any formulations having increased viscosity, in particular creams, ointments and gels, but also, any aqueous based formulations where higher viscosity is a desirable attribute. Such formulations may take the form of foundations, sprays, emulsions, lotions, creams, gels, foaming products, etc. The emulsion based formulations may be, for example, in the form of oil-in-water, water-in-oil or multiple emulsions (W/O/W):-

25

In particular embodiments, the thickening compositions of this invention are used in formulations containing one or more electrolytes. These electrolytes can be salts, either inorganic or organic. Organic salts may be salts of organic acids with inorganic cations or of organic bases with inorganic anions.

30

In a particular aspect, this invention relates to formulations with relatively high concentrations of electrolytes. For example, these formulations may contain more than 1%, in particular more than 2%, further in particular more than 3% of electrolyte.

Particular electrolytes may be salts of active ingredients. In specific embodiments according to this invention these salts are ethanolamine salts or vitamin salts, e.g. DMAE salts or salts of Vitamin C.

5

In a particular aspect there are provided thickened compositions of DMAE salts, which can be used in cosmetic formulations of high viscosity such as creams. The invention in essence concerns a thickening system that has been developed for thickening DMAE formulations. The thickening system can also be used for other ionic agents such as 10 vitamin salts.

15



20

In formula (I) R^1 and R^2 independently represent hydrogen, C_{3-6} cycloalkyl or C_{1-6} alkyl, optionally substituted with hydroxy, methoxy, oxo or formyl.

Preferably R^1 and R^2 independently represent C_{1-4} alkyl.

25

The most preferred ethanolamine of formula I is dimethylaminoethanol (DMAE), also referred to as deanol.

30

The chemical composition can be used to thicken composition comprising vitamin salts, in particular Vitamin C salts. Particular such salts are those derived from alkali metal or alkaline earth metal bases, or from suitable organic bases. The latter are bases that do not interact with the Vitamin C molecule.

The components in the compositions and formulations according to the present invention act synergistically, i.e. there is synergism in the properties of sclerotium gum and each or both of the copolymers. More in particular there is synergy in the thickening and gelling properties of these components, especially in the presence of electrolytes. Moreover, the compositions of this invention provide stable thickening systems, i.e. their thickening activity is not changed over longer periods of time or only changes marginally, or alternatively, formulations thickened with these compositions will have the same degree of viscosity during a relatively long period of time, or the viscosity will only change marginally. With stable thickening activity it is meant that formulations thickened with the compositions of the invention can be stored at standard conditions during periods of time, which are common in the trade, in particular in the trade of cosmetics. In particular the integrity, as far as its viscosity is concerned, will remain chemically unaffected. The compositions of the invention and the topical formulations derived there from remain intact during standard shelf-life periods at ambient temperature, e.g. longer than 2 years at a temperature of about 25 °C.

This makes the compositions particularly useful as thickening systems in formulations that contain electrolytes, in particular of such formulations containing relatively high amounts of electrolytes. More specifically, the compositions of the invention may be most useful as thickening systems in formulations containing thickening DMAE and its salts and/or vitamins and their salts (specifically ascorbic acid salts).

The w/w ratio of sclerotium gum to the copolymers in the compositions and formulations of the invention preferably is in the range of from 40 : 1 to 1 : 40, more preferably from 20 : 1 to 1 : 20, still more preferably from 10 : 1 to 1 : 10.

Formulations for end use may contain sclerotium gum at concentrations, which are in the range from 0.05 to 3%. Said formulations may contain copolymer at concentrations, which are in the range from 0.05 to 3%. The chemical compositions of the invention

may contain these components at the same concentrations or at higher concentrations, e.g. where these compositions are used as concentrates.

5 This thickening system is particularly effective with high concentrations of DMAE salts (e.g. compositions containing up to 3 % DMAE salts, said salts being obtained by adding one or more appropriate acids, in particular glycolic and citric acid) or of vitamin C (e.g. compositions containing up to 3 % of vitamin C salts).

10 The selected thickeners, when used alone, are destabilized by addition of electrolytes in particular when these electrolytes are salts of ethanolamines and vitamin C. However when used in combination, these thickeners show a surprisingly high viscosity. The chemical compositions of the present invention therefore are useful for use as thickening systems in cosmetic formulations that contain electrolytes. They are particularly useful in cosmetic formulations that contain ethanolamine salts (especially 15 salts of DMAE) or vitamin C salts.

Without being bound to theory it is believed that the compositions function as follows. Used alone, the thickeners form nets that are sensitive to electrolytes (nets are destroyed by electrolytes and viscosity drops). It is believed that in the compositions of 20 the invention both types of thickeners form a special type of nets that better resist deterioration by electrolytes, probably due to another tridimensional organisation. This allows a good resistance to amine derivatives, hydrosoluble vitamins and other types of electrolytes.

25 The following examples are meant to illustrate the present invention, not to limit it thereto.

Examples

5 Example 1

Aqua	78.290%
Disodium EDTA	0.080%
Sclerotium Gum	0.800%
Glycerin	3.000%
Glyceryl Polymethacrylate	2.010%
Propylene Glycol	0.030%
Aqua	0.960%
Tyrosine	1.500%
Hydrogenated polyisobutene	1.500%
Butylene Glycol	3.000%
Phenoxyethanol	0.300%
Methylparaben	0.100%
Propylparaben	0.040%
Biosaccharide Gum-1	0.020%
Phenoxyethanol	0.024%
Aqua	1.956%
Sodium Hydroxide	0.100%
Dimethyl MEA	1.000%
Citric Acid	0.320%
Glycolic Acid	0.320%
Cyclopentasiloxane	3.000%
Ammonium Acryloyldimethyltaurate / VP Copolymer	1.500%
Perfume	0.150%

The above ingredients are mixed in the sequence they are listed in a standard vessel equipped with a mixer.

Example 2

Aqua	78.110%
Disodium EDTA	0.080%
Sclerotium Gum	0.800%
Glycerin	3.000%
Glyceryl Polymethacrylate	2.010%
Propylene Glycol	0.030%
Aqua	0.960%
Tyrosine	0.500%
Butylene Glycol	3.000%
Phenoxyethanol	0.300%
Methylparaben	0.100%
Propylparaben	0.040%
Biosaccharide Gum-1	0.020%
Phenoxyethanol	0.024%
Aqua	1.956%
Sodium Hydroxide	0.100%
Dimethyl MEA	2.500%
Citric Acid	1.200%
Glycolic Acid	1.120%
Cyclopentasiloxane	3.000%
Ammonium Acryloyldimethyltaurate / VP Copolymer	1.000%
Perfume	0.150%

5 The above ingredients are mixed in the sequence they are listed in a standard vessel equipped with a mixer.

Claims

1. A chemical composition comprising:

5 (a) sclerotium gum;
(b) a copolymer selected from the group consisting of methyl vinyl ether/ maleic anhydride copolymer and acryloyldimethyltaurate vinylpyrrolidone copolymer, in particular the ammonium salt of the latter; and
(c) a suitable carrier.

10

2. A chemical composition according to claim 1, further comprising:

(d) one or more electrolytes.

3. A chemical composition comprising:

15 (a) from 0.005 to 3 %, and in particular from 0.005 to 1 % of sclerotium gum
(b) a from 0.005 to 3 %, and in particular from 0.005 to 1 % of a copolymer selected from the group consisting of PVM / MA crosspolymer and ammonium acryloyl dimethyl taurate vinyl pyrrolidone copolymer
(c) a suitable carrier.

20

4. A chemical composition according to claim 3 further comprising:

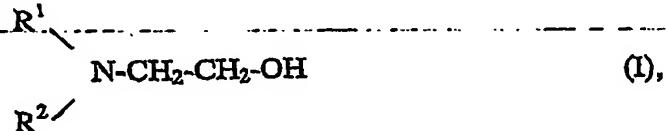
(d) from 0.001 % to 5 %, in particular from 0.001 % to 3 %, further in particular from 0.005 % to 1.5 % of one or more electrolytes.

25

5. A thickened topical formulation comprising a composition as claimed in the preceding claims and further ingredients.

6. A formulation according to claim 5, wherein the electrolyte is an effective amount of at least one ethanolamine derivative of formula I, or a topically acceptable salt thereof:

30



Wherein in formula I, R¹ and R² independently represent hydrogen, C₃₋₆ cycloalkyl or C₁₋₆ alkyl, optionally substituted with hydroxy, methoxy, oxo or formyl.

8. A formulation according to claim 6 wherein the ethanolamine of formula I is a mixed glycolate/citrate salt of dimethylethanolamine.

9. A formulation according to claim 5, wherein the electrolyte is a Vitamin C salt.

10

10. The use of a composition as claimed in any of claims 1 to 4 for manufacturing a topical or in particular a cosmetic formulation.

Abstract

5

Thickener Compositions

10

15 This invention relates to chemical compositions comprising two thickening components which act synergistically and provide good thickening properties in the presence of electrolytes, in particular in the presence of DMEA and its salts and vitamins and their salts. Further there are provided cosmetic formulations comprising these compositions.

20